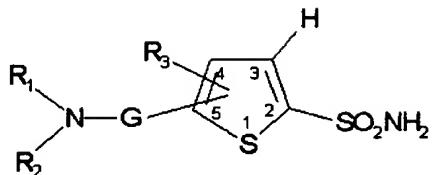


We claim:

1. A compound of the formula



or a pharmaceutically acceptable salt thereof wherein:

5 R₁ is H; C₁₋₄ alkyl; C₂₋₄ alkyl substituted optionally with OH, halogen, C₁₋₄ alkoxy or C(=O)R₇;

10 R₂ is H; C₁₋₈ alkyl; C₂₋₈ alkyl substituted with OH, NR₅R₆, halogen, C₁₋₄ alkoxy, C₂₋₄ alkoxyC₁₋₄ alkoxy, OC(=O)R₇, or C(=O)R₇; C₃₋₇ alkenyl unsubstituted or substituted optionally with OH, NR₅R₆, or C₁₋₄ alkoxy; C₃₋₇ alkynyl unsubstituted or substituted optionally with C₁-C₃alkyl, C₁-C₃halo alkyl, OH, NR₅R₆, or C₁₋₄ alkoxy; C₁₋₃ alkyl substituted with phenyl or R₁₀ either of which can be unsubstituted or substituted optionally with C₁-C₃alkyl, C₁-C₃halo alkyl, OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2; C₂₋₄ alkoxy substituted 15 optionally with NR₅R₆, halogen, C₁₋₄ alkoxy, or C(=O)R₇; phenyl or R₁₀ either of which can be unsubstituted or substituted optionally with OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2; provided that R₁ and R₂ cannot both be H; or R₁ and R₂ can be joined to form a saturated 20 ring of 5 or 6 atoms selected from O, S, C or N, such as, pyrrolidine, oxazolidine, thiomorpholine, thiomorpholine 1,1 dioxide, morpholine, piperazine, thiazolidine 1,1 dioxide, or

5- tetrahydrooxazine, which can be unsubstituted or substituted optionally on carbon with OH, NR₅R₆, halogen, C₁₋₄ alkoxy, C(=O)R₇, C₁₋₆ alkyl, C₁₋₆ alkyl substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy, C(=O)R₇ or on nitrogen with NR₅R₆, C₁₋₄ alkoxy, C(=O)R₇, C₁₋₆ alkyl or C₂₋₆ alkyl substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇;

10

R₃ is H; halogen; C₁₋₄ alkyl; C₁₋₈ alkoxy; C₁₋₈ alkylthiol; C₂₋₈ alkoxy substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇; C₁₋₄ alkyl substituted optionally with R₄; or R₁ and R₃ can be joined together with carbon atoms to form a ring of from 5 to 7 members in which said carbon atoms can be unsubstituted or substituted optionally with R₄;

15

R₄ is OH; C₁₋₄ alkyl unsubstituted or substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇; C₁₋₄ alkoxy; C₂₋₄ alkoxy substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇; NR₅R₆; phenyl or R₁₀ either of which can be unsubstituted or substituted optionally with OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2;

Part B1 cont'd

20

25

30

Provided that when G is SO₂ and R₃ is in the 4 position and is H or halogen then R₁ and R₂ are not H, C₁₋₆ alkyl substituted optionally with OH, C₁₋₆ alkoxy, C₂₋₆ alkoxy carbonyl, C₂₋₆ alkenyl, phenyl, phenoxy, pyridyl, tetrahydrofuryl, C₂₋₆ alkanoyl, C₂₋₆ alkenyl, nor are they joined to form a 5, 6 or 7 member ring, saturated or unsaturated, comprised of atoms selected optionally from C, O, S, N in which said nitrogen, when saturated, is substituted optionally with H or C₁₋₆ alkyl or in which said carbon is substituted optionally with C₁₋₆ alkyl, C₁₋₆ alkoxy or OH; and when R₃ is in the 5 position and is H, Cl, Br, or C₁₋₃ alkyl then neither R₁ nor R₂ can be H or C₁₋₄ alkyl; and when G is C(=O) and in the 5- position and R₃ is H, then R₁ and R₂ cannot both be CH₃;

R₅ & R₆ are the same or different and are H; C₁₋₄ alkyl; C₂₋₄ alkyl substituted optionally with OH, halogen, C₁₋₄ alkoxy or C(=O)R₇; C₁₋₄ alkoxy; C₂₋₄ alkoxy substituted optionally with OH, halogen, C₁₋₄ alkoxy

or $C(=O)R_7$; C_{3-7} alkenyl unsubstituted or substituted optionally with OH, NR_5R_6 , or C_{1-4} alkoxy; C_{3-7} alkynyl unsubstituted or substituted optionally with OH, NR_5R_6 , or C_{1-4} alkoxy; C_{1-2} alkyl C_{3-5} cycloalkyl; $C(=O)R_7$, or R_5 and R_6 can be joined to form a ring of 5 or 6 atoms selected from O, S, C or N, such as, pyrrolidine, oxazolidine, thiomorpholine, thiomorpholine 1,1 dioxide, morpholine, piperazine, or thiazolidine 1,1-dioxide, which can be unsubstituted or substituted optionally on carbon with OH, (=O), halogen, C_{1-4} alkoxy, $C(=O)R_7$, C_{1-6} alkyl, C_{1-6} alkyl substituted optionally with OH, halogen, C_{1-4} alkoxy, $C(=O)R_7$ or on nitrogen with C_{1-4} alkoxy, $C(=O)R_7$, $S(=O)_m R_8$, C_{1-6} alkyl or C_{2-6} alkyl substituted optionally with OH, halogen, C_{1-4} alkoxy, $C(=O)R_7$, or on sulfur by $(=O)_m$, wherein m is 0 - 2;

Sub A1 cont'd
15 R_7 is C_{1-8} alkyl; C_{1-8} alkyl substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_9$; C_{1-4} alkoxy; C_{2-4} alkoxy substituted optionally with OH, NR_5R_6 , halogen or C_{1-4} alkoxy; NR_5R_6 ; or phenyl or R_{10} either of which can be unsubstituted or substituted optionally with OH, halogen, C_{1-3} alkyl, C_{1-3} haloalkoxy, $(CH_2)_n NR_5R_6$, $S(=O)_m R_8$ or $SO_2NR_5R_6$, wherein n is 0 or 1 and m is 0-2;

20 R_8 is C_{1-4} alkyl; C_{2-4} alkyl substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_7$;

R_9 is C_{1-4} alkyl; C_{1-4} alkoxy; amino, C_{1-3} alkylamino, or di- C_{1-3} alkylamino;

25 R_{10} is a monocyclic ring system of 5 or 6 atoms composed of C, N, O, and/or S, such as furan, thiophene, pyrrole, pyrazole, imidazole, triazole, tetrazole, oxazole, isoxazole, isothiazole, thiazole, thiadiazole, pyridine, pyrimidine, pyridazine, and pyrazine; and

G is $C(=O)$ or SO_2 .

2. The compound of Claim 1 wherein: R_3 is in the 4-position and GNR_1R_2 is in the 5-position.

30 3. The compound of Claim 2 wherein:

R₁ is H; C₁₋₄ alkyl; C₂₋₄ alkyl substituted optionally with OH, halogen, C₁₋₄ alkoxy or C(=O)R₇;

R₂ is H; C₁₋₈ alkyl; C₂₋₈ alkyl substituted with OH, NR₅R₆, halogen, C₁₋₄ alkoxy, C₂₋₄ alkoxyC₁₋₄ alkoxy, OC(=O)R₇, or C(=O)R₇; C₃₋₇ alkenyl unsubstituted or substituted optionally with OH, NR₅R₆, or C₁₋₄ alkoxy; C₃₋₇ alkynyl unsubstituted or substituted optionally with C_{1-C₃} alkyl, C_{1-C₃} halo alkyl, OH, NR₅R₆, or C₁₋₄ alkoxy; C₁₋₃ alkyl substituted with phenyl or R₁₀ either of which can be unsubstituted or substituted optionally with C_{1-C₃} alkyl, C_{1-C₃} halo alkyl, OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2; C₂₋₄ alkoxy substituted optionally with NR₅R₆, halogen, C₁₋₄ alkoxy, or C(=O)R₇; phenyl or R₁₀ either of which can be unsubstituted or substituted optionally with OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2; provided that R₁ and R₂ cannot both be H; or R₁ and R₂ can be joined to form a saturated ring of 5 or 6 atoms selected from O, S, C or N, such as, pyrrolidine, oxazolidine, thiomorpholine, thiomorpholine 1,1 dioxide, morpholine, piperazine, thiazolidine 1,1 dioxide, or tetrahydrooxazine, which can be unsubstituted or substituted optionally on carbon with OH, NR₅R₆, halogen, C₁₋₄ alkoxy, C(=O)R₇, C₁₋₆ alkyl, C₁₋₆ alkyl substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy, C(=O)R₇ or on nitrogen with NR₅R₆, C₁₋₄ alkoxy, C(=O)R₇, C₁₋₆ alkyl or C₂₋₆ alkyl substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇;

R₃ is H; halogen; C₁₋₄ alkyl; C₁₋₈ alkoxy; C₁₋₈ alkylthiol; C₂₋₈ alkoxy substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇; C₁₋₄ alkyl substituted optionally with R₄.

4. The compound of Claim 2 wherein:

R₁ and R₃ are joined together with carbon atoms to form a ring of from 5 to 7 members in which said carbon atoms are unsubstituted or substituted with R₄.

5. The compound of Claim 4 wherein:

R₂ is H; C₁₋₄ alkyl; C₂₋₄ alkyl substituted with OH, NR₅R₆, halogen, C₁₋₂ alkoxy, C₂₋₄ alkoxyC₁₋₄ alkoxy, OC(=O)R₇, or C(=O)R₇; phenyl, or R₁₀, unsubstituted or substituted optionally with C_{1-C₃} alkyl, C_{1-C₃} halo alkyl, OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2; C₁₋₃ alkyl substituted with phenyl or R₁₀ either of which can be unsubstituted or substituted optionally with C_{1-C₃} alkyl, C_{1-C₃} halo alkyl OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2.

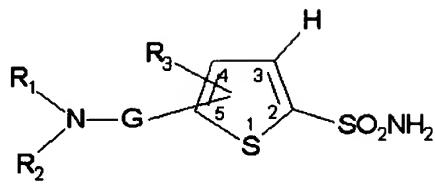
10

6. The compound of Claim 5 wherein: G is SO₂ and

R₄ is OH; C₁₋₄ alkoxy; C₂₋₄ alkoxy substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇; or NR₅R₆; phenyl, or R₁₀ unsubstituted or substituted optionally with OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2.

15

7. A compound of the formula



[Handwritten note: 100%]
R₁ is H; C₁₋₄ alkyl; C₂₋₄ alkyl substituted optionally with OH, halogen, C₁₋₄ alkoxy or C(=O)R₇;

5 R₂ is H; C₁₋₈ alkyl; C₂₋₈ alkyl substituted with OH, NR₅R₆, halogen, C₁₋₄ alkoxy, C₂₋₄ alkoxyC₁₋₄ alkoxy, OC(=O)R₇, or C(=O)R₇; C₃₋₇ alkenyl unsubstituted or substituted optionally with OH, NR₅R₆, or C₁₋₄ alkoxy; C₃₋₇ alkynyl unsubstituted or substituted optionally with OH, NR₅R₆, or C₁₋₄ alkoxy; C₁₋₃ alkyl substituted with phenyl or R₁₀ either of which can be unsubstituted or substituted optionally with C_{1-C₃}alkyl, C_{1-C₃}halo alkyl, OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2; C₂₋₄ alkoxy substituted optionally with NR₅R₆, halogen, C₁₋₄ alkoxy, or C(=O)R₇; phenyl or R₁₀ either of which can be unsubstituted or substituted optionally with C_{1-C₃}alkyl, C_{1-C₃}halo alkyl, OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2; provided that R₁ and R₂ cannot both be H; or R₁ and R₂ can be joined to form a saturated ring of 5 or 6 atoms selected from O, S, C or N, such as, pyrrolidine, oxazolidine, thiomorpholine, thiomorpholine 1,1 dioxide, morpholine,

5 piperazine, thiazolidine 1,1 dioxide, or tetrahydrooxazine, which can be unsubstituted or substituted optionally on carbon with OH, NR₅R₆, halogen, C₁₋₄ alkoxy, C(=O)R₇, C₁₋₆ alkyl, C₁₋₆ alkyl substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy, C(=O)R₇, or on nitrogen with NR₅R₆, C₁₋₄ alkoxy, C(=O)R₇, C₁₋₆ alkyl or C₂₋₆ alkyl substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇;

10 R₃ is H; halogen; C₁₋₄ alkyl; C₁₋₈ alkoxy; C₁₋₈ alkylthiol; C₂₋₈ alkoxy substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇; C₁₋₄ alkyl substituted optionally with R₄; or R₁ and R₃ can be joined together with carbon atoms to form a ring of from 5 to 7 members in which said carbon atoms can be unsubstituted or substituted optionally with R₄;

15 R₄ is OH; C₁₋₄ alkyl unsubstituted or substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇; C₁₋₄ alkoxy; C₂₋₄ alkoxy substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇; NR₅R₆; phenyl or R₁₀ either of which can be unsubstituted or substituted optionally with OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2;

20 Provided that when G is SO₂ and R₃ is in the 4 position and is H or halogen then R₁ and R₂ are not H, C₁₋₆ alkyl substituted optionally with OH, C₁₋₆ alkoxy, C₂₋₆ alkoxycarbonyl, C₂₋₆ alkenyl, phenyl, phenoxy, pyridyl, tetrahydrofuryl, C₂₋₆ alkanoyl, C₂₋₆ alkenyl, nor are they joined to form a 5, 6 or 7 member ring, saturated or unsaturated, comprised of atoms selected optionally from C, O, S, N in which said nitrogen, when saturated, is substituted optionally with H or C₁₋₆ alkyl or in which said carbon is substituted optionally with C₁₋₆ alkyl, C₁₋₆ alkoxy or OH; and when R₃ is in the 5 position and is H, Cl, Br, or C₁₋₃ alkyl then neither R₁ nor R₂ can be H or C₁₋₄ alkyl; and when G is C(=O) and in the 5 position and R₃ is H then R₁ and R₂ cannot both be CH₃;

25 30 R₅ & R₆ are the same or different and are H; C₁₋₄ alkyl; C₂₋₄ alkyl substituted optionally with OH, halogen, C₁₋₄ alkoxy or C(=O)R₇; C₁₋₄ alkoxy; C₂₋₄ alkoxy substituted optionally with OH, halogen, C₁₋₄ alkoxy or C(=O)R₇; C₃₋₇ alkenyl unsubstituted or substituted optionally

with OH, NR₅R₆, or C₁₋₄ alkoxy; C₃₋₇ alkynyl unsubstituted or substituted optionally with OH, NR₅R₆, or C₁₋₄ alkoxy; C₁₋₂alkylC₃₋₅cycloalkyl; C(=O)R₇ or R₅ and R₆ can be joined to form a ring of 5 or 6 atoms selected from O, S, C or N, such as, pyrrolidine, oxazolidine, thiomorpholine, thiomorpholine 1,1 dioxide, morpholine, piperazine, thiazolidine 1,1-dioxide, or tetrahydrooxazine, which can be unsubstituted or substituted optionally on carbon with OH, (=O), halogen, C₁₋₄ alkoxy, C(=O)R₇, C₁₋₆ alkyl, C₁₋₆ alkyl substituted optionally with OH, halogen, C₁₋₄ alkoxy, C(=O)R₇, or on nitrogen with C₁₋₄ alkoxy, C(=O)R₇, S(=O)_mR₈, C₁₋₆ alkyl or C₂₋₆ alkyl substituted optionally with OH, halogen, C₁₋₄ alkoxy, C(=O)R₇, or on sulfur by (=O)_m, wherein m is 0 - 2;

15. Substantially identical to claim 7.
R₇ is C₁₋₈ alkyl; C₁₋₈ alkyl substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₉; C₁₋₄ alkoxy; C₂₋₄ alkoxy substituted optionally with OH, NR₅R₆, halogen or C₁₋₄ alkoxy; NR₅R₆; or phenyl or R₁₀ either of which can be unsubstituted or substituted optionally with OH, halogen, C₁₋₃ alkyl, C₁₋₃ haloalkoxy, (CH₂)_nNR₅R₆, S(=O)_mR₈ or SO₂NR₅R₆, wherein n is 0 or 1 and m is 0-2;

20. Substantially identical to claim 7.
R₈ is C₁₋₄ alkyl; C₂₋₄ alkyl substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇;

R₉ is C₁₋₄ alkyl; C₁₋₄ alkoxy; amino, C₁₋₃ alkylamino, or di-C₁₋₃ alkylamino;

25. Substantially identical to claim 7.
R₁₀ is a monocyclic ring system of 5 or 6 atoms composed of C, N, O, and/or S, such as furan, thiophene, pyrrole, pyrazole, imidazole, triazole, tetrazole, oxazole, isoxazole, isothiazole, thiazole, thiadiazole, pyridine, pyrimidine, pyridazine, and pyrazine; and

G is SO₂ and C=O provided that when G is C=O then R₁ and R₃ are not joined together in a six member ring.

8. The compound of Claim 7 wherein: R₃ is in the 4-position and GNR₁R₂ is in the 5-position.

30. Substantially identical to claim 8.
9. The compound of Claim 8 wherein:

R_1 is H; C₁₋₄ alkyl; or C₂₋₄ alkyl substituted optionally with OH, halogen, C₁₋₄ alkoxy or C(=O)R₇;

R_2 is H; C₁₋₈ alkyl; C₂₋₈ alkyl substituted with OH, NR₅R₆, halogen, C₁₋₄ alkoxy, C₂₋₄ alkoxyC₁₋₄ alkoxy, OC(=O)R₇, or C(=O)R₇; C₃₋₇ alkenyl unsubstituted or substituted optionally with OH, NR₅R₆, or C₁₋₄ alkoxy; C₃₋₇ alkynyl unsubstituted or substituted optionally with OH, NR₅R₆, or C₁₋₄ alkoxy; C₁₋₃ alkyl substituted with phenyl or R₁₀ which can be unsubstituted or substituted optionally with C_{1-C₃} alkyl, C_{1-C₃} halo alkyl, OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2; C₂₋₄ alkoxy substituted optionally with NR₅R₆, halogen, C₁₋₄ alkoxy, or C(=O)R₇; phenyl, or R₁₀ unsubstituted or substituted optionally with C_{1-C₃} alkyl, C_{1-C₃} halo alkyl, OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0-2 and n is 0 - 2; provided that R₁ and R₂ cannot both be H; or R₁ and R₂ can be joined to form a saturated ring of 5 or 6 atoms selected from O, S, C or N which can be unsubstituted or substituted optionally on carbon with OH, NR₅R₆, halogen, C₁₋₄ alkoxy, C(=O)R₇, C₁₋₆ alkyl, C₁₋₆ alkyl substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy, C(=O)R₇, or on nitrogen with NR₅R₆, C₁₋₄ alkoxy, C(=O)R₇, C₁₋₆ alkyl or C₂₋₆ alkyl substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇;

R_3 is H; halogen; C₁₋₄ alkyl; C₁₋₈ alkoxy, C₁₋₈ alkylthiol, C₂₋₈ alkoxy substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇; or C₁₋₄ alkyl substituted optionally with R₄.

10. The compound of Claim 8 wherein:

R₁ and R₃ are joined together with carbon atoms to form a ring of from 5 to 7 members in which said carbon atoms are unsubstituted or substituted with R₄.

11. The compound of Claim 10 wherein:

30 R₂ is H; C₁₋₄ alkyl; C₂₋₄ alkyl substituted with OH, NR₅R₆, halogen, C₁₋₂ alkoxy, C₂₋₄ alkoxyC₁₋₄ alkoxy, OC(=O)R₇, or C(=O)R₇; C₁₋₃ alkyl substituted with

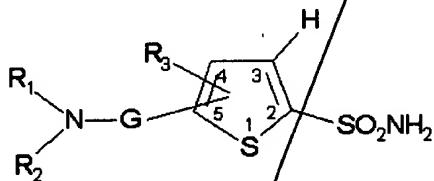
*5-
salt
16-
cont'd*

phenyl or R_{10} group either of which can be unsubstituted or substituted optionally with C_1-C_3 alkyl, C_1-C_3 halo alkyl, OH, $(CH_2)_nNR_5R_6$, halogen, C_{1-4} alkoxy, C_{1-4} haloalkoxy, $C(=O)R_7$, $S(=O)_mR_8$ or $SO_2NR_5R_6$, wherein m is 0 - 2 and n is 0 - 2; phenyl or a R_{10} either of which can be unsubstituted or substituted optionally with C_1-C_3 alkyl, C_1-C_3 halo alkyl, OH, $(CH_2)_nNR_5R_6$, halogen, C_{1-4} alkoxy, C_{1-4} haloalkoxy, $C(=O)R_7$, $S(=O)_mR_8$ or $SO_2NR_5R_6$, wherein m is 0 - 2 and n is 0 - 2.

12. The compound of Claim 11 wherein: G is SO_2 and

10
 R_4 is OH; C_{1-4} alkoxy; C_{2-4} alkoxy substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_7$; or NR_5R_6 ; phenyl, or R_{10} , unsubstituted or substituted optionally with OH, $(CH_2)_nNR_5R_6$, halogen, C_{1-4} alkoxy, C_{1-4} haloalkoxy, $C(=O)R_7$, $S(=O)_mR_8$ or $SO_2NR_5R_6$, wherein m is 0-2 and n is 0 - 2.

13. A compound of the formula



or a pharmaceutically acceptable salt thereof wherein:

20
 R_1 is H; C_{1-4} alkyl; C_{2-4} alkyl substituted optionally with OH, halogen, C_{1-4} alkoxy or $C(=O)R_7$;

R_2 is H; C_{1-8} alkyl; C_{2-8} alkyl substituted with OH, NR_5R_6 , halogen, C_{1-4} alkoxy, C_{2-4} alkoxy C_{1-4} alkoxy, $OC(=O)R_7$, or $C(=O)R_7$; C_{3-7} alkenyl unsubstituted or substituted optionally with OH, NR_5R_6 , or C_{1-4} alkoxy; C_{3-7} alkynyl unsubstituted or substituted optionally with OH, NR_5R_6 , or C_{1-4} alkoxy; C_{1-3} alkyl substituted with phenyl or R_{10} either

of which can be unsubstituted or substituted optionally with C_1 - C_3 alkyl, C_1 - C_3 halo alkyl, OH, $(CH_2)_nNR_5R_6$, halogen, C_{1-4} alkoxy, C_{1-4} haloalkoxy, $C(=O)R_7$, $S(=O)_mR_8$ or $SO_2NR_5R_6$, wherein m is 0 - 2 and n is 0 - 2; C_{2-4} alkoxy substituted optionally with NR_5R_6 , halogen, C_{1-4} alkoxy, or $C(=O)R_7$; phenyl or R_{10} either of which can be unsubstituted or substituted optionally with C_1 - C_3 alkyl, C_1 - C_3 halo alkyl, OH, $(CH_2)_nNR_5R_6$, halogen, C_{1-4} alkoxy, C_{1-4} haloalkoxy, $C(=O)R_7$, $S(=O)_mR_8$ or $SO_2NR_5R_6$, wherein m is 0 - 2 and n is 0 - 2; provided that R_1 and R_2 cannot both be H;

10 R_3 is H; halogen; C_{1-4} alkyl; C_{1-8} alkoxy; C_{1-8} alkylthiol; C_{2-8} alkoxy substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_7$; C_{1-4} alkyl substituted optionally with R_4 ; or R_1 and R_3 can be joined together with carbon atoms to form a ring of from 5 to 7 members in which said carbon atoms can be unsubstituted or substituted optionally with R_4 ;

15 *full cont'd* R_4 is OH; C_{1-4} alkyl unsubstituted or substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_7$; C_{1-4} alkoxy; C_{2-4} alkoxy substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_7$; NR_5R_6 ; phenyl or R_{10} either of which can be unsubstituted or substituted optionally with OH, $(CH_2)_nNR_5R_6$, halogen, C_{1-4} alkoxy, C_{1-4} haloalkoxy, $C(=O)R_7$, $S(=O)_mR_8$ or $SO_2NR_5R_6$, wherein m is 0 - 2 and n is 0 - 2; provided that when R_3 is in the 5 position and is H, Cl, Br, or C_{1-13} alkyl then neither R_1 nor R_2 can be H or C_{1-4} alkyl;

25 R_5 & R_6 are the same or different and are H; C_{1-4} alkyl; C_{2-4} alkyl substituted optionally with OH, halogen, C_{1-4} alkoxy or $C(=O)R_7$; C_{1-4} alkoxy; C_{2-4} alkoxy substituted optionally with OH, halogen, C_{1-4} alkoxy or $C(=O)R_7$; C_{3-7} alkenyl unsubstituted or substituted optionally with OH, NR_5R_6 , or C_{1-4} alkoxy; C_{3-7} alkynyl unsubstituted or substituted optionally with OH, NR_5R_6 , or C_{1-4} alkoxy; C_{1-2} alkyl C_{3-5} cycloalkyl; $C(=O)R_7$ or R_5 and R_6 can be joined to form a ring of 5 or 6 atoms selected from O, S, C or N, such as, pyrrolidine, oxazolidine, thiomorpholine, thiomorpholine 1,1 dioxide, morpholine, piperazine, or thiazolidine 1,1-dioxide which can be

5

unsubstituted or substituted optionally on carbon with OH, (=O), halogen, C_{1-4} alkoxy, $C(=O)R_7$, C_{1-6} alkyl, C_{1-6} alkyl substituted optionally with OH, halogen, C_{1-4} alkoxy, $C(=O)R_7$, or on nitrogen with C_{1-4} alkoxy, $C(=O)R_7$, $S(=O)_m R_8$, C_{1-6} alkyl or C_{2-6} alkyl substituted optionally with OH, halogen, C_{1-4} alkoxy, $C(=O)R_7$, or on sulfur by $(=O)_m$, wherein m is 0 - 2;

10

feel good today
 R_7 is C_{1-8} alkyl; C_{1-8} alkyl substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_9$; C_{1-4} alkoxy; C_{2-4} alkoxy substituted optionally with OH, NR_5R_6 , halogen or C_{1-4} alkoxy, NR_5R_6 ; or phenyl or R_{10} either of which can be unsubstituted or substituted optionally with OH, halogen, C_{1-3} alkyl, C_{1-3} haloalkoxy, $(CH_2)_n NR_5R_6$, $S(=O)_m R_8$ or $SO_2NR_5R_6$, wherein n is 0 or 1 and m is 0-2;

15

R_8 is C_{1-4} alkyl; C_{2-4} alkyl substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_7$;

20

G is SO_2 .

14. The compound of Claim 13 wherein: R_3 is in the 4-position and GNR_1R_2 is in the 5-position.

15. The compound of Claim 14 wherein:

R₁ is H; C₁₋₄ alkyl; or C₂₋₄ alkyl substituted optionally with OH, halogen, C₁₋₄ alkoxy or C(=O)R₇;

5 R₂ is H; C₁₋₄ alkyl; C₂₋₄ alkyl substituted with OH, NR₅R₆, halogen, C₁₋₂ alkoxy, C₂₋₄ alkoxyC₁₋₄ alkoxy, OC(=O)R₇, or C(=O)R₇; phenyl, or R₁₀, unsubstituted or substituted optionally with C_{1-C₃}alkyl, C_{1-C₃}halo alkyl, OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2; C₁₋₃ alkyl substituted with phenyl or R₁₀ either of which can be unsubstituted or substituted optionally with C_{1-C₃}alkyl, C_{1-C₃}halo alkyl, OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2.

15 R₃ is H; halogen; C₁₋₄ alkyl; C₁₋₈ alkoxy; C₁₋₈ alkylthiol; C₂₋₈ alkoxy substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇;

C₁₋₄ alkyl substituted optionally with R₄.

16. The compound of Claim 14 wherein:

R₁ and R₃ are joined together with carbon atoms to form a ring of from 5 to 7 members in which said carbon atoms are unsubstituted or substituted with R₄.

17. The compound of Claim 16 wherein:

R₂ is H; C₁₋₄ alkyl; C₂₋₄ alkyl substituted with OH, NR₅R₆, halogen, C₁₋₂ alkoxy, C₂₋₄ alkoxyC₁₋₄ alkoxy, OC(=O)R₇, or C(=O)R₇; phenyl, or R₁₀, unsubstituted or substituted optionally with C_{1-C₃}alkyl, C_{1-C₃}halo alkyl, OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2; C₁₋₃ alkyl substituted with phenyl or R₁₀ either of which can be unsubstituted or substituted optionally with C_{1-C₃}alkyl, C_{1-C₃}halo alkyl, OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2.

18. The compound of Claim 17 wherein:

R₄ is OH; C₁₋₄ alkoxy; C₂₋₄ alkoxy substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇; or NR₅R₆; phenyl, or R₁₀, unsubstituted or substituted optionally with OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2.

19. A compound selected from the group consisting of:

R-(+)-4-Ethylamino-3,4-dihydro-2-(3-methoxy)propyl-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;

(R)-4-Ethylamino-2-(4-methoxy-phenyl)-3,4-dihydro-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;

(R)-4-Ethylamino-3,4-dihydro-2-(3-methoxy-phenyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;

(R)-4-Ethylamino-2-(4-hydroxy-phenyl)-3,4-dihydro-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;

(R)-4-Ethylamino-3,4-dihydro-2-(3-hydroxy-phenyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;

(R)-4-Ethylamino-3,4-dihydro-2-(4-hydroxy-phenylmethyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;

(R)-4-Ethylamino-3,4-dihydro-2-(3-methoxy-phenylmethyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;

R-(+)-3,4-Dihydro-2-(4-methoxybutyl)-4-propylamino-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;

R-(+)-4-Ethylamino-3,4-dihydro-2-(4-methoxybutyl)-2H-thieno[3,2-

Marked copy

e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;
R-(+)-4-Ethylamino-3,4-dihydro-2-(2-methylpropyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;
R-(+)-4-Ethylamino-3,4-dihydro-2-(6-hydroxyhexyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;
R-3,4-Dihydro-2-(3-hydroxypropyl)-4-(2-methylpropyl)amino-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride hemihydrate.

- 8*
20. A formulation for controlling intraocular pressure comprising a therapeutically effective amount of the compound of Claim 1 in a pharmaceutically acceptable carrier.
21. A formulation for controlling intraocular pressure comprising a therapeutically effective amount of the compound of Claim 7 in a pharmaceutically acceptable carrier.
22. A formulation for controlling intraocular pressure comprising a therapeutically effective amount of the compound of Claim 13 in a pharmaceutically acceptable carrier.
- 9*
23. A formulation for controlling intraocular pressure comprising a therapeutically effective amount of the compound of Claim 19 in a pharmaceutically acceptable carrier.
- 10*
24. The formulation of Claim 20 wherein the compound concentration is between 0.1 and 10% by weight.
25. The formulation of Claim 21 wherein the compound concentration is between 0.1 and 10% by weight.
26. The formulation of Claim 22 wherein the compound concentration is between 0.1 and 10% by weight.

11 27. The formulation of Claim 23 wherein the compound concentration is between 0.1 and 10% by weight.

12 28. The formulation of Claim 24 wherein the compound concentration is between 0.1 and 10% by weight.

13 29. A method for controlling intraocular pressure which comprises topically administering to the affected eye a therapeutically effective amount of the compound of Claim 1.

10 30. A method for controlling intraocular pressure which comprises topically administering to the affected eye a therapeutically effective amount of the compound of Claim 7.

31. A method for controlling intraocular pressure which comprises topically administering to the affected eye a therapeutically effective amount of the compound of Claim 13.

14 32. A method for controlling intraocular pressure which comprises topically administering to the affected eye a therapeutically effective amount of the compound of Claim 19.